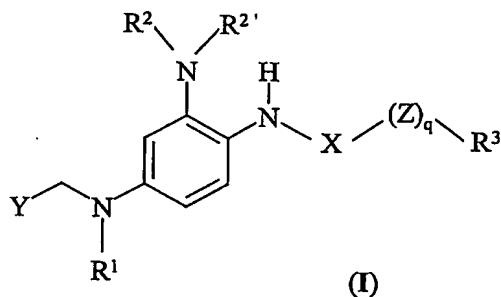


Claims

1. A 1,2,4-triaminobenzene derivative of formula I



wherein

R^1 is selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, acyl, hydroxy- C_{1-6} -alk(en/yn)yl and hydroxy- C_{3-8} -cycloalk(en)yl;

R^2 and $R^{2'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, aryl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, aryl- C_{1-6} -alk(en/yn)yl, acyl, hydroxy- C_{1-6} -alk(en/yn)yl and hydroxy- C_{3-8} -cycloalk(en)yl;

R^3 is selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, aryl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, aryl- C_{1-6} -alk(en/yn)yl, hydroxy- C_{1-6} -alk(en/yn)yl, aryl- C_{3-8} -cycloalk(en)yl, $NR^{10}R^{10'}$ - C_{1-6} -alk(en/yn)yl, $NR^{10}R^{10'}$ - C_{3-8} -cycloalk(en)yl and hydroxy- C_{3-8} -cycloalk(en)yl; wherein

R^{10} and $R^{10'}$ are independently selected from the group consisting of hydrogen, C_{1-6} -alk(en/yn)yl, C_{3-8} -cycloalk(en)yl, C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, hydroxy- C_{1-6} -alk(en/yn)yl, hydroxy- C_{3-8} -cycloalk(en)yl, hydroxy- C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, halo- C_{1-6} -alk(en/yn)yl, halo- C_{3-8} -cycloalk(en)yl, halo- C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, cyano- C_{1-6} -alk(en/yn)yl, cyano- C_{3-8} -cycloalk(en)yl and cyano- C_{3-8} -cycloalk(en)yl- C_{1-6} -alk(en/yn)yl, or

R^{10} and $R^{10'}$ together with the nitrogen atom to which they are attached form a 4-8 membered saturated or unsaturated ring which optionally contains 1, 2 or 3 further heteroatoms;

5 X is CO or SO₂;

Z is O or NR⁴, wherein

R^4 is selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, hydroxy-C₁₋₆-alk(en/yn)yl
10 and hydroxy-C₃₋₈-cycloalk(en)yl; or

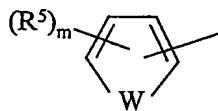
R^3 and R^4 together with the nitrogen atom to which they are attached form a 4-8 membered saturated or unsaturated ring which optionally contains 1, 2 or 3 further heteroatoms, the ring formed by R^3 and R^4 and the nitrogen atom is optionally substituted with one or more substituents independently selected from
15 C₁₋₆-alk(en/yn)yl, aryl and aryl-C₁₋₆-alk(en/yn)yl;

q is 0 or 1;

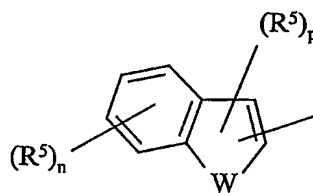
and

20

Y represents a heteroaryl of formula II or III



II



III

25 wherein

W is O or S;

m is 0, 1, 2 or 3;

n is 0, 1, 2, 3 or 4;

5

p is 0 or 1; and

each **R**⁵ is independently selected from the group consisting of C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, aryl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, aryl-C₁₋₆-alk(en/yn)yl, acyl, halogen, halo-C₁₋₆-alk(en/yn)yl, C₁₋₆-alk(en/yn)yl, 10 alk(en/yn)yl, acyl, halogen, halo-C₁₋₆-alk(en/yn)yl, C₁₋₆-alk(en/yn)yl, -CO-NR⁶R^{6'}, cyano, nitro, -NR⁷R^{7'}, -S-R⁸, -SO₂R⁸, SO₂OR⁸;

wherein

15 **R**⁶ and **R**^{6'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl and aryl;

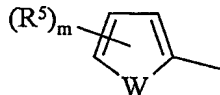
R⁷ and **R**^{7'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, aryl and acyl; and
20

R⁸ is selected from the group consisting of C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl, C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl, aryl and -NR⁹R^{9'}; wherein
R⁹ and **R**^{9'} are independently selected from the group consisting of hydrogen, C₁₋₆-alk(en/yn)yl, C₃₋₈-cycloalk(en)yl and C₃₋₈-cycloalk(en)yl-C₁₋₆-alk(en/yn)yl;
25

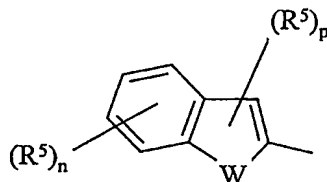
or pharmaceutically acceptable salts thereof.

2. A compound according to claim 1 wherein **R**¹ is selected from the group
30 consisting of hydrogen and C₁₋₆-alk(en/yn)yl.
3. A compound according to any one of claims 1 and 2 wherein at least one of the substituents **R**² and **R**^{2'} is a hydrogen atom

4. A compound according to any one of claims 1-3 wherein both R^2 and $R^{2'}$ are hydrogen atoms.
5. A compound according to any one of claims 1-4 wherein X is CO.
6. A compound according to any one of claims 1-5 wherein q is 0.
7. A compound according to any one of claims 1-5 wherein q is 1 and Z is an oxygen atom.
8. A compound according to any one of claims 1-7 wherein R^3 is selected from the group consisting of C_{1-6} -alk(en/yn)yl and aryl- C_{1-6} -alk(en/yn)yl.
9. A compound according to claim 8 wherein R^3 is C_{1-6} -alk(en/yn)yl.
10. A compound according to claim 8 wherein R^3 is aryl- C_{1-6} -alk(en/yn)yl.
11. A compound according to any one of claims 1-10 wherein W is an oxygen atom.
12. A compound according to any one of claims 1-11 wherein W is a sulfur atom.
13. A compound according to any one of claims 1-12 wherein Y is of formula II.
14. A compound according to any one of claims 1-12 wherein Y is of formula III.
15. A compound according to any of claims 1-14 wherein Y is of formula IIb or IIIb



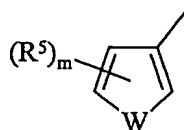
IIb



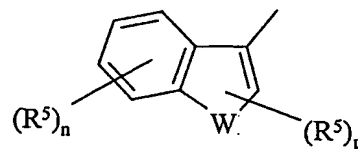
IIIb

wherein W , m , n , p and R^5 are as defined above.

16. A compound according to any of claims 1-14 wherein Y is of formula IIc or IIIc



IIc



IIIc

wherein W, m, n, p and R⁵ are as defined above.

17. A compound according to any one of claims 1-16 wherein each R⁵ is independently selected from the group consisting of C₁₋₆-alk(en/yn)yl, aryl, halogen, C₁₋₆-alk(en/yn)ylloxy, -NR⁷R^{7'}, -SO₂R⁸.
18. A compound according to any of claims 1-17, said compound being selected from the group consisting of:
- {2-Amino-4-[(5-chloro-thiophen-2-ylmethyl)-methyl-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(5-chloro-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(5-methyl-thiophen-2-ylmethyl)-methyl-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(5-bromo-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(6-chloro-3-methoxy-benzo[b]thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(benzo[b]thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(5-methyl-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(4-bromo-3-methoxy-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(5-phenyl-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;
 - {2-Amino-4-[(3-chloro-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

(2-Amino-4-[[4-(4-chloro-benzenesulfonyl)-3-methyl-thiophen-2-ylmethyl]-amino]-phenyl)-carbamic acid ethyl ester;

{2-Amino-4-[(3-methyl-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

5 {2-Amino-4-[(5-fluoro-benzofuran-3-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(4-bromo-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

10 {2-Amino-4-[(5-ethyl-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(thiophen-3-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(5-chloro-thiophen-2-ylmethyl)-ethyl-amino]-phenyl}-carbamic acid ethyl ester;

15 {2-Amino-4-[(benzo[b]thiophen-3-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(5-dimethyl-amino-benzo[b]thiophen-3-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

20 {2-Amino-4-[(5-dimethyl-amino-3-methyl-benzo[b]thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(5-fluoro-thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid ethyl ester;

{2-Amino-4-[(benzo[b]thiophen-2-ylmethyl)-amino]-phenyl}-carbamic acid propyl ester;

25 {2-Amino-4-[(benzo[b]thiophen-3-ylmethyl)-amino]-phenyl}-carbamic acid propyl ester;

N-{2-Amino-4-[(5-chloro-thiophen-2-ylmethyl)amino]phenyl}-2-(4-fluoro-phenyl)-acetamide; and

30 N-{2-Amino-4-[(5-chloro-thiophen-2-ylmethyl)amino]phenyl}-3,3-dimethyl-butyramide

or a pharmaceutically acceptable salt thereof;

19. A pharmaceutical composition comprising a compound according to any of the claims 1-18 in a therapeutically effective amount together with one or more pharmaceutically acceptable carriers or diluents.
- 5 20. Use of a compound of any of claims 1-19 for the manufacture of a pharmaceutical preparation for the prevention, treatment and/or inhibition of a disorder of the central nervous system.
- 10 21. Use according to claim 20 characterized in that the disorder of the central nervous system is selected from the group consisting of seizure disorders such as convulsions, epilepsy and status epilepticus.
- 15 22. Use according to claim 21 characterized in that the disorder of the central nervous system is selected from the group consisting of neuropathic and migraine pain disorders such as allodynia, hyperalgesic pain, phantom pain, neuropathic pain related to diabetic neuropathy and neuropathic pain related to migraine.
- 20 23. Use according to claim 21 characterized in that the disorder of the central nervous system is selected from the group consisting of anxiety disorders such as anxiety, generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, social phobia, performance anxiety, post-traumatic stress disorder, acute stress reaction, adjustment disorders, hypochondriacal disorders, separation anxiety disorder, agoraphobia, specific phobias, anxiety disorder due to general medical condition and substance-induced anxiety disorder.
- 25 24. Use according to claim 21 characterized in that the disorder of the central nervous system is selected from the group consisting of and neurodegenerative disorders such as Alzheimer's disease, Huntington's chorea, multiple sclerosis, amyotrophic lateral sclerosis, AIDS-induced encephalopathy and other infection-related encephalopathies being caused by rubella viruses, herpes viruses, borrelia and by unknown pathogens, Creutzfeld-Jakob disease, Parkinson's disease, trauma-induced neurodegenerations.
- 30

25. Use according to claim 21 characterized in that the disorder of the central nervous system is selected from the group consisting of neuronal hyperexcitation states such as in medicament withdrawal or by intoxication.